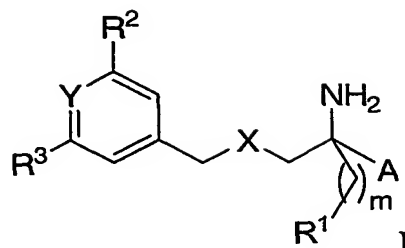


WHAT IS CLAIMED IS:

1. A compound of formula (I):



5 wherein:

X is O or NH;

Y is CH or N;

10

A is selected from the group consisting of

- (1) hydrogen,
- (2) -C₁₋₁₀ alkyl,
- (3) -C₂₋₁₀ alkenyl, and
- 15 (4) -C₂₋₁₀ alkynyl,

wherein said alkyl, alkenyl or alkynyl is unsubstituted or substituted with one or more

- (a) halo,
- (b) -C₃₋₈ cycloalkyl,
- (c) -OH,
- (d) -CN,
- (e) -O-C₁₋₁₀ alkyl,

20

(f) phenyl, or

(g) heteroaryl selected from the group consisting of pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetrazolyl, furanyl, imidazolyl,

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triazinyl, pyranyl, thiazolyl, thienyl, thiophenyl, triazolyl, oxazolyl, isoxazolyl,

thiazolyl, oxadiazolyl, indolyl, quinoliny, isoquinoliny, benzimidazolyl and benzoxazolyl,

and said phenyl and heteroaryl is unsubstituted or substituted with one or more

- (i) halo,
- (ii) -OH,
- (iii) -CN,
- (iv) -O-C₁₋₁₀ alkyl,
- (v) -C₁₋₁₀ alkyl,
- (vi) -C₂₋₁₀ alkenyl,
- (vii) -C₂₋₁₀ alkynyl, or
- (viii) -C₃₋₈ cycloalkyl;

R¹ is (1) aryl selected from the group consisting of phenyl and naphthyl, or
(2) heteroaryl selected from the group consisting of pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetrazolyl, furanyl, imidazolyl, triazinyl, pyranyl, thiazolyl, thienyl, thiophenyl, triazolyl, oxazolyl, isoxazolyl, thiazolyl, oxadiazolyl, indolyl, quinoliny, isoquinoliny, benzimidazolyl and benzoxazolyl,

wherein said aryl or heteroaryl is unsubstituted or substituted with one or more

- (a) halo,
- (b) -C₁₋₁₀ alkyl,
- (c) -C₂₋₁₀ alkenyl,
- (d) -C₂₋₁₀ alkynyl,
- (e) -OH,
- (f) -CN,
- (g) -O-C₁₋₁₀ alkyl, or
- (h) -C₃₋₈ cycloalkyl;

R² is selected from the group consisting of:

- (1) (R⁴-SO₂)N(R⁷)-, wherein R⁴ is
 - (a) -C₁₋₁₀ alkyl,

- (b) -C₂₋₁₀ alkenyl,
- (c) -C₂₋₁₀ alkynyl, or
- (d) -C₃₋₈ cycloalkyl,

wherein said alkyl, alkenyl, alkynyl and cycloalkyl is unsubstituted or substituted with one or more

- (i) halo,
- (ii) -OH,
- (iii) -CN,
- (iv) -O-C₁₋₁₀ alkyl,
- (v) -C₁₋₁₀ alkyl,
- (vi) -C₂₋₁₀ alkenyl,
- (vii) -C₂₋₁₀ alkynyl,
- (viii) -C₃₋₈ cycloalkyl,
- (ix) aryl selected from the group consisting of phenyl and naphthyl, or
- (x) heteroaryl selected from the group consisting of pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetrazolyl, furanyl, imidazolyl, triazinyl, pyranyl, thiazolyl, thienyl, thiophenyl, triazolyl, oxazolyl, isoxazolyl, thiazolyl, oxadiazolyl, indolyl, quinoliny, isoquinoliny, benzimidazolyl and benzoxazolyl,

and said aryl and heteroaryl is unsubstituted or substituted with one or more

- (i) halo,
- (ii) -OH,
- (iii) -CN,
- (iv) -O-C₁₋₁₀ alkyl,
- (v) -C₃₋₈ cycloalkyl,
- (vi) -C₁₋₁₀ alkyl,
- (vii) -C₂₋₁₀ alkenyl, or
- (viii) -C₂₋₁₀ alkynyl;

R⁷ is selected from the group consisting of

- (a) hydrogen,

(b) $-C_{1-10}$ alkyl,

(c) $-C_{2-10}$ alkenyl, or

(d) $-C_{2-10}$ alkynyl;

wherein said alkyl, alkenyl or alkynyl is unsubstituted or substituted with one or more

(i) halo,

(ii) $-OH$,

(iii) $-CN$,

(iv) $-O-C_{1-10}$ alkyl,

(v) $-C_{3-8}$ cycloalkyl,

(vi) aryl selected from the group consisting of phenyl and naphthyl, or

(vii) heteroaryl selected from the group consisting of pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetrazolyl, furanyl, imidazolyl, triazinyl, pyranyl, thiazolyl, thienyl, thiophenyl, triazolyl, oxazolyl, isoxazolyl, thiazolyl, oxadiazolyl, indolyl, quinoliny, isoquinoliny, benzimidazolyl and benzoxazolyl,

wherein said cycloalkyl, aryl or heteroaryl is unsubstituted or substituted with one or more

(i) halo,

(ii) $-OH$,

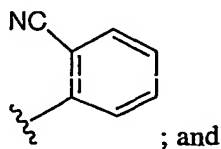
(iii) $-CN$,

(iv) $-O-C_{1-10}$ alkyl,

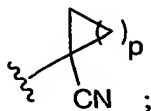
(v) $-C_{3-8}$ cycloalkyl, or

(vi) aryl selected from the group consisting of phenyl and naphthyl;

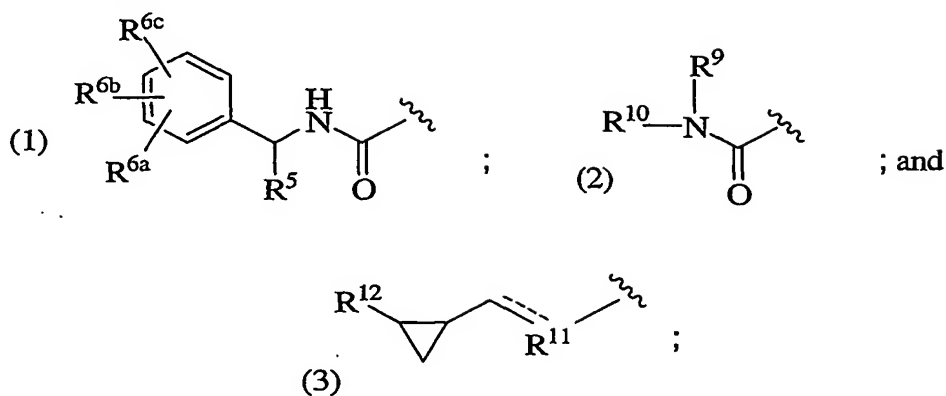
(2)



(3)



R³ is selected from the group consisting of



5

wherein R⁵ is selected from the group consisting of

- (1) -C₁₋₁₀ alkyl,
- (2) -C₂₋₁₀ alkenyl,
- (3) -C₂₋₁₀ alkynyl

10 wherein said alkyl, alkenyl or alkynyl is unsubstituted or substituted with one or more halo;

R^{6a}, R^{6b}, and R^{6c} are independently selected from the group consisting of:

- (1) hydrogen,
- (2) halo,
- (3) -C₁₋₁₀ alkyl,
- (4) -C₂₋₁₀ alkenyl,
- (5) -C₂₋₁₀ alkynyl,
- (6) -OH,
- (7) -CN,
- (8) -C₃₋₈ cycloalkyl, and

15

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(9) -O-C₁₋₁₀ alkyl;

R⁹ and R¹⁰ are independently selected from the group consisting of

- (1) hydrogen,
- (2) -C₁₋₁₀ alkyl,
- (3) -C₂₋₁₀ alkenyl,
- (4) -C₂₋₁₀ alkynyl, or
- (5) -C₃₋₈ cycloalkyl;

wherein said alkyl, alkenyl, alkynyl or cycloalkyl is unsubstituted or substituted with one or more

- (a) halo,
- (b) -OH,
- (c) -CN,
- (d) -C₃₋₈ cycloalkyl,
- (e) -O-C₁₋₁₀ alkyl

or R⁹ and R¹⁰ are joined together with the nitrogen atom to which they are attached to form a pyrrolidine ring, which is unsubstituted or substituted with one or more

- (a) -C₁₋₁₀ alkyl,
- (b) -C₂₋₁₀ alkenyl,
- (c) -C₂₋₁₀ alkynyl,
- (d) -C₃₋₈ cycloalkyl,
- (e) - (CH₂)_n-phenyl,
- (f) -CN,

wherein said alkyl, alkenyl or alkynyl is unsubstituted or substituted with one or more

- i) halo,
- ii) -OH,
- iii) -CN,
- iv) -O-C₁₋₁₀ alkyl, or
- v) -C₃₋₈ cycloalkyl;

and said cycloalkyl and phenyl is unsubstituted or substituted with one or more

- i) halo,
- ii) -C₁₋₁₀ alkyl,
- iii) -C₂₋₁₀ alkenyl,

- iv) $-C_{2-10}$ alkynyl,
- v) $-OH$,
- vi) $-CN$,
- vii) $-C_{3-8}$ cycloalkyl, or
- viii) $-O-C_{1-10}$ alkyl;

R¹¹ is selected from the group consisting of

- (1) $-CH-$,
- (2) $-O-$, and
- (3) $-NR^8-$,

provided that when R¹¹ is $-CH-$ the dotted line forms a bond and when R¹¹ is $-O-$ or $-NR^8-$ the dotted line is absent;

R⁸ is selected from the group consisting of

- (1) hydrogen,
- (2) $-C_{1-10}$ alkyl,
- (3) $-C_{2-10}$ alkenyl,
- (4) $-C_{2-10}$ alkynyl, or
- (5) $-CH_2$ -phenyl,

wherein said alkyl, alkenyl, alkynyl or phenyl is unsubstituted or substituted with one or more

- (a) halo,
- (b) $-OH$,
- (c) $-CN$,
- (d) $-C_{3-8}$ cycloalkyl,
- (e) $-O-C_{1-10}$ alkyl;

R¹² is selected from the group consisting of

- (1) hydrogen,
- (2) $-C_{1-10}$ alkyl;
- (3) $-C_{2-10}$ alkenyl,
- (4) $-C_{2-10}$ alkynyl,
- (5) halo,

(6) -C₃₋₈ cycloalkyl,

(7) aryl selected from the group consisting of phenyl and naphthyl, and

(8) heteroaryl selected from the group consisting of pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetrazolyl, furanyl, imidazolyl, triazinyl, pyranyl, thiazolyl, thienyl, thiophenyl, triazolyl, oxazolyl, isoxazolyl, thiazolyl, oxadiazolyl, indolyl, quinolinyl, isoquinolinyl, benzimidazolyl and benzoxazolyl,

wherein said aryl and heteroaryl is unsubstituted or substituted with one or more

(a) halo,

(b) -OH,

(c) -CN,

(d) -O-C₁₋₁₀ alkyl,

(e) -C₃₋₈ cycloalkyl,

(f) -C₁₋₁₀ alkyl,

(g) -C₂₋₁₀ alkenyl, or

(h) -C₂₋₁₀ alkynyl;

m is 0, 1, 2 or 3;

n is 0, 1, 2, 3 or 4;

p is 1, 2, 3 or 4;

provided that A is not CH₂OH;

and pharmaceutically acceptable salts thereof.

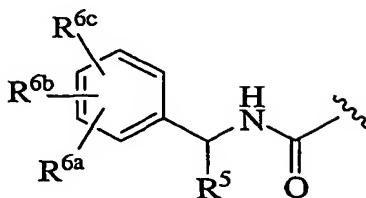
2. The compound of Claim 1 wherein m is 1 and R¹ is phenyl.

3. The compound of Claim 1 wherein R² is (R⁴-SO₂)N(R⁷)-.

4. The compound of Claim 3 wherein R⁴ and R⁷ are methyl.

5. The compound of Claim 1 wherein A is unsubstituted C₁₋₆ alkyl or unsubstituted C₂₋₆ alkenyl.

6. The compound of Claim 1 wherein R³ is



7. The compound of Claim 1 wherein Y is CH.

8. The compound of Claim 1 wherein Y is N.

9. The compound of Claim 1 wherein X is O.

10. A compound selected from the group consisting of

3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-N-[(1R)-1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]benzamide;

3-[(2-amino-2-benzylpent-4-en-1-yl)oxy)methyl]-N-[(1R)-1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]benzamide;

3-[(2-amino-2-benzylpentyl)oxy)methyl]-N-[(1R)-1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]benzamide;

3-[(2-amino-2-benzylhexyl)oxy)methyl]-N-[(1R)-1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]benzamide;

N-(4-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-6-{benzyl[(2-methylcyclopropyl)methyl]amino}pyridin-2-yl)-N-propylmethanesulfonamide;

N-(4-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-6-[(2-methylcyclopropyl)methyl]amino}pyridin-2-yl)-N-propylmethanesulfonamide;

N-(4-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-6-{methyl[(2-methylcyclopropyl)methyl]amino}pyridin-2-yl)-N-propylmethanesulfonamide;

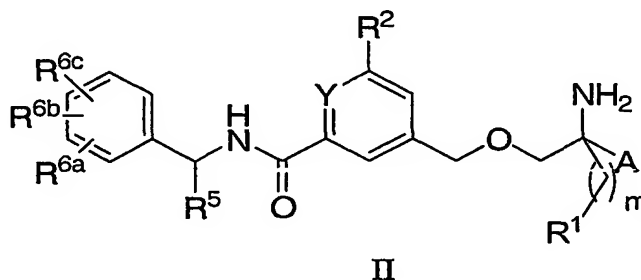
4-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-N-[1-(4-fluorophenyl)ethyl]-6-[(methylsulfonyl)(propyl)amino]pyridine-2-carboxamide;

- N*-{4-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-6-[(2-phenylpyrrolidin-1-yl)carbonyl]pyridin-2-yl}-*N*-propylmethanesulfonamide;
- N*-{3-({[(2*R*)-2-amino-2-methyl-3-phenylpropyl]oxy}methyl)-5-[(*Z*)-2-(2-methylcyclopropyl)vinyl]phenyl}-*N*-propylmethanesulfonamide;
- 5 3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-*N*-(1,1-dimethylprop-2-yn-1-yl)-5-[(methylsulfonyl)(propyl)amino]benzamide;
- 3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-5-[(methylsulfonyl)(propyl)amino]-*N*-(2,2,2-trifluoro-1-phenylethyl)benzamide;
- N*-{3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-5-[(2-phenylpyrrolidin-1-yl)carbonyl]phenyl}-*N*-propylmethanesulfonamide;
- 10 *N*-{3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-5-[(2-propylpyrrolidin-1-yl)carbonyl]phenyl}-*N*-propylmethanesulfonamide;
- 3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-5-[(methylsulfonyl)(propyl)amino]-*N,N*-dipropylbenzamide;
- 15 3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-*N*-(1-methylbut-2-yn-1-yl)-5-[(methylsulfonyl)(propyl)amino]benzamide;
- N*-(3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-5-[(2,2,2-trifluoro-1-phenylethyl)amino]methyl)phenyl)-*N*-propylmethanesulfonamide;
- 3-[(2-amino-2-methyl-3-phenylpropoxy)methyl]-*N*-[(1*R*)-1-(4-fluorophenyl)ethyl]-5-
- 20 [propyl(methylsulfonyl)amino]benzamide;
- 3-[(2-amino-3-phenylpropoxy)methyl]-*N*-[1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]benzamide;
- 3-[(2-Amino-2-methyl-3-phenylpropoxy)methyl]-5-(1-cyanocyclopentyl)-*N*-((*R*)-1-(4-fluorophenyl)ethyl)benzamide;
- 25 3-[(2-Amino-2-methyl-3-phenylpropoxy)methyl]-5-(1-cyanocyclopentyl)-*N*-((*R*)-1-phenylethyl)benzamide;
- 3-[(2-Amino-2-methyl-3-phenylpropoxy)methyl]-*N*-benzyl-5-(1-cyanocyclopentyl)benzamide;
- 3-[(2-Amino-2-methyl-3-phenylpropoxy)methyl]-5-(1-cyanocyclopentyl)-*N*-(2-phenylpyrrolidin-1-yl)benzamide;
- 30 3-[(2-Amino-2-methyl-3-phenylpropoxy)methyl]-*N*-(1-(3-chlorophenyl)ethyl)-5-(1-cyanocyclopentyl)benzamide;

- 3-((2-Amino-2-methyl-3-phenylpropoxy)methyl)-5-(1-cyanocyclopentyl)-N-(2-propylpyrrolidin-1-yl)benzamide;
 3-((2-Amino-2-methyl-3-phenylpropoxy)methyl)-5-(1-cyanocyclopentyl)-N,N-dipropylbenzamide;
 3-((2-Amino-2-methyl-3-phenylpropoxy)methyl)-5-(1-cyanocyclopentyl)-N-(pent-3-yn-2-yl)benzamide;
 5 3-((2-Amino-2-methyl-3-phenylpropoxy)methyl)-N-(1-(2-chlorophenyl)ethyl)-5-(1-cyanocyclopentyl)benzamide;
 3-((2-Amino-2-methyl-3-phenylpropoxy)methyl)-5-(1-cyanocyclopentyl)-N-(2-ethynylpyrrolidin-1-yl)benzamide;
 3-((2-Amino-2-ethyl-3-phenylpropoxy)methyl)-N-((R)-1-(4-fluorophenyl)ethyl)-5-(N-methyl-N-(methylsulfonyl)amino)benzamide;
 10 3-((2-Amino-2-benzyl-3-phenylpropoxy)methyl)-N-((R)-1-(4-fluorophenyl)ethyl)-5-(N-methyl-N-(methylsulfonyl)amino)benzamide;
 3-((2-Amino-2-difluoromethyl-3-phenylpropoxy)methyl)-N-((R)-1-(4-fluorophenyl)ethyl)-5-(N-methyl-N-(methylsulfonyl)amino)benzamide;
 15 3-((2-Amino-2-fluoromethyl-3-phenylpropoxy)methyl)-N-((R)-1-(4-fluorophenyl)ethyl)-5-(N-methyl-N-(methylsulfonyl)amino)benzamide;
 3-[[[(2-amino-2-methyl-3-phenylpropyl)amino]methyl]-N-[(1R)-1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]benzamide;
 N-[4-[[[(2-amino-2-methyl-3-phenylpropyl)amino]methyl]-6-([2-methylcyclopropyl]methyl)amino]pyridin-2-yl]-N-methylpropane-2-sulfonamide;
 20

and pharmaceutically acceptable salts thereof.

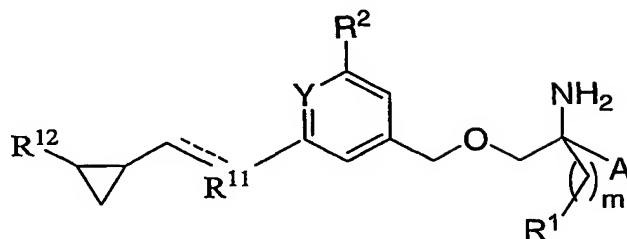
11. The compound of Claim 1 of formula (II)



wherein Y, A, R¹, R², R⁵, R^{6a}, R^{6b}, and R^{6c} and m are as defined in Claim 1;

and pharmaceutically acceptable salts thereof.

12. The compound of Claim 1 of formula (III)

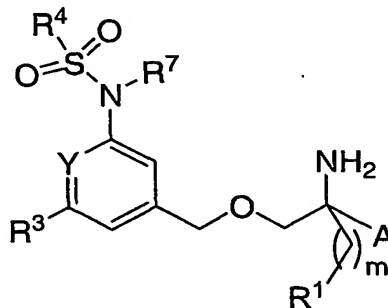


(III)

wherein Y, A, R¹, R², R⁵, R¹¹, R¹² and m are as defined in Claim 1;
and pharmaceutically acceptable salts thereof.

13. The compound of Claim 12 wherein Y is N and R¹¹ is NR⁸.

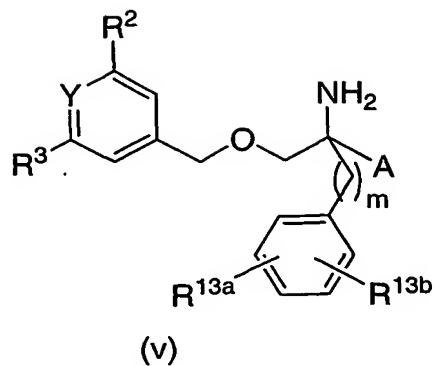
14. A compound of Claim 1 of formula (IV)



(IV)

wherein Y, A, R¹, R³, R⁴, R⁷ and m are as defined in Claim 1;
and pharmaceutically acceptable salts thereof.

15. The compound of Claim 1 of formula (V)



wherein R^{13a} and R^{13b} are independently selected from the group consisting of

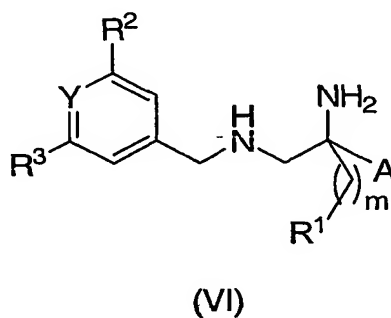
- (a) halo,
- (b) $-C_{1-10}$ alkyl,
- (c) $-OH$,
- (d) $-CN$,
- (e) $-O-C_{1-10}$ alkyl,
- (f) hydrogen, and
- (g) $-C_{3-8}$ cycloalkyl;

m is 1; and

Y , A , R^2 and R^3 are as defined in Claim 1;

and pharmaceutically acceptable salts thereof.

16. The compound of Claim 1 of formula (VI)



wherein Y, A, R¹, R², R³ and m are as defined in Claim 1; and pharmaceutically acceptable salts thereof.

17. A pharmaceutical composition comprising a therapeutically effective amount of a
5 compound of Claim 1 and a pharmaceutically acceptable carrier.

18. A method for inhibition of β -secretase activity in a mammal in need thereof which comprises administering to the mammal a therapeutically effective amount of a compound of Claim 1.

10 19. A method for treating Alzheimer's disease in a patient in need thereof comprising administering to the patient a therapeutically effective amount of a compound of Claim 1.